CLAIMS

1- Compounds of formula (I):

$$Z \qquad Y_1 \qquad Q \qquad X_2 \qquad Y_2 \qquad Y_$$

5 wherein:

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- A represents a ring having 6 ring members which is saturated or partially or wholly unsaturated, wherein the unsaturation optionally confers an aromatic nature on the ring,
- Z represents one or more identical or different groups of the formula U-V wherein:
 - ✓ U represents a single bond, or a linear or branched (C₁-C₆)alkylene chain which is optionally substituted by one or more identical or different groups selected from halogen and hydroxy and/or which optionally contains one or more unsaturated bonds,
 - ✓ V represents a group selected from a hydrogen atom, a halogen atom and the groups cyano, nitro, azido, linear or branched (C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl in which the alkyl moiety may be linear or branched, hydroxy, linear or branched (C₁-C₆)-alkoxy, aryloxy, aryl(C₁-C₆)alkoxy in which the alkoxy moiety may be linear or branched, formyl, carboxy, aminocarbonyl, NR₃R₄, -C(O)-T₁, -C(O)-NR₃-T₁, -NR₃-C(O)-T₁, -O-C(O)-T₁, -C(O)-O-T₁, -O-T₂-NR₃R₄, -O-T₂-OR₃, -O-T₂-CO₂R₃, -NR₃-T₂-NR₃R₄, -NR₃-T₂-OR₃, -NR₃-T₂-CO₂R₃ and -S(O)₁-R₃, wherein:
 - ⇒ R₃ and R₄, which are identical or different, each represents a group selected from a hydrogen atom and the groups linear or branched (C₁-C₆)alkyl, aryl, and aryl(C₁-C₆)alkyl in which the alkyl moiety may be linear or branched, or
 - ⇒ R₃+R₄ form together, with the nitrogen atom carrying them, a saturated,

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monocyclic or bicyclic heterocycle which has from 5 to 10 ring atoms and optionally contains within the ring system a second hetero atom selected from oxygen and nitrogen and which is optionally substituted by a group selected from linear or branched (C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl in which the alkyl moiety may be linear or branched, hydroxy, linear or branched (C₁-C₆)alkoxy, amino, linear or branched mono(C₁-C₆)alkylamino, and di(C₁-C₆)alkylamino in which the alkyl moieties may be linear or branched.

- T₁ represents a group selected from linear or branched (C₁-C₆)alkyl optionally substituted by a group selected from -OR₃, -NR₃R₄, -CO₂R₃, -C(O)R₃ and -C(O)NR₃R₄ wherein R₃ and R₄ are as defined hereinbefore, aryl, and aryl(C₁-C₆)-alkyl in which the alkyl moiety may be linear or branched, or T₁ represents a linear or branched (C₂-C₆)alkenyl chain optionally substituted by a group selected from -OR₃, -NR₃R₄, -CO₂R₃, -C(O)R₃ and -C(O)NR₃R₄ wherein R₃ and R₄ are as defined hereinbefore,
- ⇒ T₂ represents a linear or branched (C₁-C₆)alkylene chain,
- ⇒ t represents an integer from 0 to 2 inclusive, or a methylenedioxy or ethylenedioxy group
- W₁, with the carbon atoms to which it is bonded, represents a phenyl group or a pyridyl group,
- W₂ represents a group selected from:

wherein R₆ represents a group selected from a hydrogen atom and the groups linear or

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branched (C_1 - C_6)alkyl, aryl, aryl(C_1 - C_6)alkyl in which the alkyl moiety may be linear or branched, cycloalkyl, cycloalkyl(C_1 - C_6)alkyl in which the alkyl moiety may be linear or branched, - OR_3 , - NR_3R_4 , -O- T_2 - NR_3R_4 , - NR_3 - T_2 - NR_3R_4 , linear or branched (C_1 - C_6)-hydroxyalkylamino, di((C_1 - C_6)hydroxyalkyl)amino in which the alkyl moieties may be linear or branched, -C(O)- R_3 and -NH-C(O)- R_3 , or R_6 represents a linear or branched (C_1 - C_6)alkylene chain substituted by one or more identical or different groups selected from halogen atoms and the groups cyano, nitro, - OR_3 , - NR_3R_4 , - CO_2R_3 , - $C(O)R_3$, linear or branched (C_1 - C_6)hydroxyalkylamino, di((C_1 - C_6)hydroxyalkyl)amino in which the alkyl moieties may be linear or branched, and -C(O)- NHR_3 , the groups R_3 , R_4 and T_2 being as defined hereinbefore,

- X_1 represents a group selected from a hydrogen atom and the groups hydroxy, linear or branched (C_1 - C_6)alkoxy, mercapto and linear or branched (C_1 - C_6)alkylthio,
- Y₁ represents a hydrogen atom, or
- X_1 and Y_1 form together, with the carbon atom carrying them, a carbonyl or thiocarbonyl group,
 - X₂ represents a group selected from a hydrogen atom and the groups hydroxy, linear or branched (C₁-C₆)alkoxy, mercapto and linear or branched (C₁-C₆)alkylthio,
 - Y₂ represents a hydrogen atom, or
 - X_2 and Y_2 form together, with the carbon atom carrying them, a carbonyl or thiocarbonyl group,
- **R**₁ represents a group selected from a hydrogen atom, a linear or branched (C₁-C₆)alkyl group optionally substituted by one or more groups hydroxy, linear or branched (C₁-C₆)-alkoxy, linear or branched (C₁-C₆)hydroxyalkoxy or NR₃R₄, the groups R₃ and R₄ being as defined hereinbefore, or R₁ represents a group of the formula C(O)-O-T₃ wherein: T₃ represents a linear or branched (C₁-C₆)alkyl group, an aryl group or an aryl(C₁-C₆)alkyl group in which the alkyl moiety may be linear or branched, or R₁ represents a group of formula (a):

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$$R_c$$
 R_d
 R_c
 R_b
 R_b
(a)

wherein:

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- ✓ R_a, R_b, R_c and R_d, which are identical or different, each independently of the others represents a bond or a group selected from a hydrogen atom, a halogen atom and the groups hydroxy, linear or branched (C₁-C₆)alkoxy, aryloxy, aryl(C₁-C₆)alkoxy in which the alkoxy moiety may be linear or branched, linear or branched (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl in which the alkyl moiety may be linear or branched, aryl, -NR₃R₄ wherein R₃ and R₄ are as defined hereinbefore, azido, -N=NR₃ (wherein R₃ is as defined hereinbefore), and -O-C(O)-R₅ wherein R₅ represents a linear or branched (C₁-C₆)alkyl group (optionally substituted by one or more groups selected from halogen, hydroxy, amino, linear or branched (C₁-C₆)alkylamino, and di(C₁-C₆)-alkylamino in which the alkyl moieties may be linear or branched), or R₅ represents aryl, aryl(C₁-C₆)alkyl in which the alkyl moiety may be linear or branched, cycloalkyl or heterocycloalkyl,
- ✓ $\mathbf{R_e}$ represents a methylene group (H₂C=) or a group of the formula $-\mathbf{U_1}$ - $\mathbf{R_a}$ wherein $\mathbf{U_1}$ represents a single bond or a methylene group and $\mathbf{R_a}$ is as defined hereinbefore,
- \checkmark **n** has the value 0 or 1,

it being understood that the group of formula (a) is bonded to the nitrogen atom by R_a , R_b , R_c , R_d or R_e ,

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• Q represents a group selected from an oxygen atom and a group NR₂ wherein R₂ represents a group selected from a hydrogen atom and the groups linear or branched (C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl in which the alkyl moiety may be linear or branched, cycloalkyl, cycloalkyl(C₁-C₆)alkyl in which the alkyl moiety may be linear or branched, -OR₃, -NR₃R₄, -O-T₂-NR₃R₄, -NR₃-T₂-NR₃R₄, linear or branched (C₁-C₆)-hydroxyalkylamino, di((C₁-C₆)hydroxyalkyl)amino in which the alkyl moieties may be linear or branched, -C(O)-R₃ and -NH-C(O)-R₃, or R₂ represents a linear or branched (C₁-C₆)alkylene chain substituted by one or more identical or different groups selected

from halogen atoms and the groups cyano, nitro, $-OR_3$, $-NR_3R_4$, $-CO_2R_3$, $-C(O)R_3$, linear or branched (C_1 - C_6)hydroxyalkylamino, di((C_1 - C_6)hydroxyalkyl)amino in which the alkyl moieties may be linear or branched, and -C(O)-NHR₃, the groups R₃, R₄ and T₂ being as defined hereinbefore,

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provided that when W_1 , with the carbon atoms to which it is bonded, represents an unsubstituted phenyl group or a phenyl group substituted by a bromine atom, R_1 represents a group selected from a hydrogen atom and a glucopyranosyl or (2,3,4,6-tetra-O-benzyl-glucopyranosyl) group and R_2 represents a hydrogen atom, then W_2 represents a group selected from:

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wherein R₆ is as defined hereinbefore,

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and provided also that when W_1 , with the carbon atoms to which it is bonded, represents an unsubstituted phenyl group, R_1 represents a hydrogen atom and R_2 represents a methyl group, then W_2 represents a group selected from:

wherein R₆ is as defined hereinbefore,

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their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base,

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aryl being understood to be a phenyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, indenyl or indanyl group, each of those groups being optionally substituted by one or more identical or different groups selected from halogen, linear or branched (C₁-C₆)alkyl, linear or branched (C₁-C₆)trihaloalkyl, hydroxy, linear or branched (C₁-C₆)alkoxy, and NR₃R₄, R₃ and R₄ being as defined hereinbefore.

2- Compounds of formula (I) according to claim 1, characterised in that X_1 and Y_1 form together, with the carbon atom carrying them, a carbonyl group, and X_2 and Y_2 form together, with the carbon atom carrying them, a carbonyl group, their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

<u>3</u>- Compounds of formula (I) according to either claim 1 or claim 2, characterised in that Q represents a group $-NR_2$ wherein R_2 is as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

4- Compounds of formula (I) according to any one of claims 1 to 3, characterised in that they represent compounds of formula (IA):

wherein R_1 , R_2 , W_1 and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

5- Compounds of formula (I) according to any one of claims 1 to 4, characterised in that

they represent compounds of formula (IB):

$$Z \xrightarrow{N \atop N} O$$

$$Z \xrightarrow{N \atop N \atop N} (IB)$$

wherein R_1 , R_2 and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

5 <u>6</u>- Compounds of formula (I) according to any one of claims 1 to 4, characterised in that they represent compounds of formula (IC):

$$Z \xrightarrow{N \atop N} N \qquad \text{(IC)}$$

wherein R_1 , R_2 and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

<u>7</u>- Compounds of formula (I) according to any one of claims 1 to 3, characterised in that they represent compounds of formula (ID):

wherein R₁, R₂, R₆, W₁ and Z are as defined for formula (I), their enantiomers,

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diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

8- Compounds of formula (I) according to any one of claims 1 to 3 and 7, characterised in that they represent compounds of formula (IE):

$$Z \xrightarrow{R_2} O \xrightarrow{N} R_6 \qquad \text{(IE)}$$

wherein R₁, R₂, R₆ and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

<u>9</u>- Compounds of formula (I) according to any one of claims 1 to 3 and 7, characterised in that they represent compounds of formula (IF):

wherein R₁, R₂, R₆ and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

<u>10</u>- Compounds of formula (I) according to any one of claims 1 to 3, characterised in that they represent compounds of formula (IG):

$$\begin{array}{c}
-55 - \\
R_2 \\
O \\
N \\
N \\
N
\end{array} \qquad (IG)$$

wherein R_1 , R_2 , W_1 and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

5 <u>11</u>- Compounds of formula (I) according to any one of claims 1 to 3 and 10, characterised in that they represent compounds of formula (IH):

$$Z \xrightarrow{\begin{array}{c} R_2 \\ N \\ N \end{array}} O$$

$$R_1 \qquad (IH)$$

wherein R_1 , R_2 and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

10 <u>12</u>- Compounds of formula (I) according to any one of claims 1 to 3 and 10, characterised in that they represent compounds of formula (II):

$$Z \xrightarrow{N \longrightarrow N} N \qquad (II)$$

wherein R₁, R₂ and Z are as defined for formula (I), their enantiomers, diastereoisomers

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and also addition salts thereof with a pharmaceutically acceptable acid or base.

13- Compounds of formula (I) according to any one of claims 1 to 3, characterised in that they represent compounds of formula (IJ):

wherein R₁, R₂, W₁ and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

14- Compounds of formula (I) according to any one of claims 1 to 3 and 13, characterised in that they represent compounds of formula (IK):

$$Z \xrightarrow{R_2} O$$

$$X \xrightarrow{N} O$$

$$X \xrightarrow{N} N$$

$$X \xrightarrow{N} N$$

$$X \xrightarrow{N} N$$

$$X \xrightarrow{N} N$$

wherein R_1 , R_2 and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

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15- Compounds of formula (I) according to any one of claims 1 to 3 and 13, characterised in that they represent compounds of formula (IL):

$$Z = \begin{bmatrix} -57 - & & & \\ R_2 & & & \\ N & & & \\ N & & & \\ R_1 & & & \\ \end{bmatrix}$$
(IL)

wherein R_1 , R_2 and Z are as defined for formula (I), their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

<u>16</u>- Compounds of formula (I) according to any one of claims 1 to 15, characterised in that R_1 represents a hydrogen atom, a group of the formula C(O)-O- T_3 wherein T_3 represents a linear or branched (C_1 - C_6)alkyl group, or a glucopyranosyl group of the formula:

their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.

- <u>17</u>- Compounds of formula (I) according to any one of claims 1 to 16, characterised in that R₂ represents a hydrogen atom or a linear or branched (C₁-C₆)alkyl group, their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.
- 18- Compounds of formula (I) according to any one of claims 1 to 17, characterised in that R₆ represents a hydrogen atom, their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.
 - 19- Compounds of formula (I) which are:

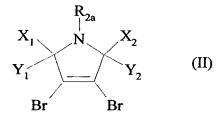
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- > pyrrolo[3',4':5,6]indolizino[8,7-b]indole-1,3[2*H*,8*H*]-dione,
- > 11-bromopyrrolo[3',4':5,6]indolizino[8,7-b]indole-1,3[2H,8H]-dione,

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- > 11-chloropyrrolo[3',4':5,6]indolizino[8,7-b]indole-1,3[2H,8H]-dione,
- ➤ imidazo[2',1':6,1]pyrrolo[3',4':4,5]pyrido[2,3-b]indole-1,3(2*H*,8*H*)-dione, their enantiomers, diastereoisomers and also addition salts thereof with a pharmaceutically acceptable acid or base.
- 5 <u>20- Process</u> for the preparation of compounds of formula (I) according to claim 1, characterised in that there is used as starting material a compound of formula (II):



wherein R_{2a} represents a hydrogen atom or a methyl group and X_1 , Y_1 , X_2 and Y_2 are as defined for formula (I),

which compound of formula (II) is treated with an alkylmagnesium halide in the presence of a compound of formula (III):

$$V_{1}$$
 (III)

wherein W₁ and Z are as defined for formula (I), to yield a compound of formula (IV):

$$X_{1}$$

$$X_{1}$$

$$Y_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$W_{1}$$

$$H$$

$$R$$

$$Y_{2}$$

$$Y_{2}$$

$$(IV)$$

wherein R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore, which compound of formula (IV) is reacted with di-*tert*-butyl dicarbonate in the presence of 4-dimethylaminopyridine to yield a compound of formula (V):

wherein Boc represents a *tert*-butylcarbonyloxy group and R_{2a} , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore,

which compound of formula (V) is:

• <u>either</u> treated with an alkylmagnesium halide in the presence of a pyrrolyl compound to yield a compound of formula (VI):

$$Z$$

$$X_1$$

$$X_1$$

$$X_2$$

$$Y_1$$

$$Y_2$$

$$Y_2$$

$$W_1$$

$$R_6$$

$$N$$

$$R_6$$

$$(VI)$$

wherein R_6 is as defined for formula (I) and Boc, R_{2a} , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore,

which compound of formula (VI) is:

* <u>either</u> irradiated with a halogen lamp to yield a compound of formula (I/a), which is a particular case of the compounds of formula (I):

$$Z = X_1 + X_2 + X_2 + X_2 + X_3 + X_4 + X_4 + X_5 + X_5 + X_5 + X_6 +$$

wherein Boc, R₆, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

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which compound of formula (I/a) is optionally treated with formic acid to yield a compound of formula (I/b), which is a particular case of the compounds of formula (I):

$$\begin{array}{c|c}
R_{2a} \\
X_1 & X_2 \\
Y_1 & Y_2 \\
X_1 & Y_2 \\
Y_2 & X_6
\end{array}$$

$$\begin{array}{c|c}
X_1 & X_2 \\
Y_2 & X_6
\end{array}$$

$$\begin{array}{c|c}
X_1 & X_2 \\
Y_2 & X_6
\end{array}$$

$$\begin{array}{c|c}
X_1 & X_2 & X_2 \\
Y_1 & Y_2 & X_6
\end{array}$$

wherein R₆, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

* <u>or</u> treated with palladium black in the particular case where R_6 represents a hydrogen atom, to yield a compound of formula (\bar{I}/c), which is a particular case of the compounds of formula (\bar{I}):

$$\begin{array}{c|c}
R_{2a} \\
X_1 & X_2 \\
Y_1 & Y_2 \\
\hline
W_1 & N \\
\hline
Boc
\end{array}$$
(I/c)

wherein Boc, R_{2a} , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore, which compound of formula (I/c) is optionally subjected to the same reaction conditions as the compound of formula (I/a) to yield a compound of formula (I/d), which is a particular case of the compounds of formula (I):

wherein R_{2a} , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore,

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• or treated with lithium hexamethyldisilazane in the presence of a pyrrolyl compound to

yield a compound of formula (VII):

$$Z = X_1 + X_2 + X_2 + X_2 + X_2 + X_2 + X_3 + X_4 + X_4 + X_5 +$$

wherein Boc, R₆, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore, which compound of formula (VII) is irradiated with a halogen lamp, in an apolar and

aprotic solvent, to yield a compound of formula (I/e), which is a particular case of the compounds of formula (I):

wherein Boc, R₆, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

which compound of formula (I/e) is optionally subjected to the same reaction conditions as the compound of formula (I/a) to yield a compound of formula (I/f), which is a particular case of the compounds of formula (I):

$$Z = X_1 + X_2 + X_2 + X_2 + X_2 + X_2 + X_3 + X_4 + X_4 + X_5 + X_5 + X_6 +$$

wherein R₆, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

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• <u>or</u> treated with an alkylmagnesium halide in the presence of imidazole to yield a compound of formula (VIII):

$$\begin{array}{c|c}
X_1 & X_2 \\
X_1 & X_2 \\
Y_2 & Y_2 \\
W_1 & X_2 \\
Y_2 & Y_2
\end{array}$$
(VIII)

wherein R_{2a} , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore, which compound of formula (VIII) is treated with a compound of formula (IX):

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$$R_{1a}-G$$
 (IX)

wherein R_{1a} , which is other than a hydrogen atom, has the same definition as R_1 in formula (I) and G represents a hydroxy group or a leaving group, to yield a compound of formula (X):

$$Z$$

$$X_1$$

$$X_1$$

$$X_2$$

$$Y_1$$

$$Y_2$$

$$X_1$$

$$Y_2$$

$$X_1$$

$$Y_2$$

$$X_1$$

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$$Y_1$$

wherein R_{1a} , R_{2a} , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore, which compounds of formula (X) are irradiated with a halogen lamp to yield compounds of formulae (I/g_1) and (I/g_2) , which are particular cases of the compounds of formula (I):

wherein R_{1a}, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

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which compounds of formulae (I/g_1) and (I/g_2) are optionally treated with manganese dioxide to yield compounds of formulae (I/h_1) and (I/h_2) , which are particular cases of the compounds of formula (I):

$$Z = \begin{pmatrix} X_1 & X_2 & X_1 & X_2 & X_1 & X_2 & X_1 & X_2 & X_2 & X_1 & X_2 & X_2 & X_1 & X_2 & X_2$$

wherein R_{1a}, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

which compounds of formulae (I/h_1) and (I/h_2) are optionally subjected to the same reaction conditions as the compound of formula (I/a), in the particular case where R_{1a} represents a *tert*-butylcarbonyloxy group, to yield compounds of formulae (I/i_1) and (I/i_2) , which are particular cases of the compounds of formula (I):

. 5

wherein R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

• <u>ar</u> treated with an alkylmagnesium halide in the presence of an imidazolyl compound (XI):

$$\begin{array}{c}
R_7 \\
\downarrow^7 \\
N \\
N
\end{array}$$
(XI)

wherein R_7 represents a secondary-amine-protecting group known to the person skilled in the art, to yield a compound of formula (XII):

$$Z = X_1 + X_2 + X_2 + X_2 + X_3 + X_4 + X_4 + X_5 +$$

wherein R_{2a} , R_7 , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore,

which compound of formula (XII) is subjected to the same reaction conditions as the compound of formula (VIII) to yield a compound of formula (XIII):

$$X_{1}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{1}$$

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$$X_{2}$$

$$Y_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{7}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{3}$$

$$X_{4}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{7}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{3}$$

$$X_{4}$$

$$X_{5}$$

$$Y_{5}$$

$$Y_{5}$$

$$Y_{5}$$

$$Y_{5}$$

$$Y_{7}$$

$$Y_{7$$

wherein R_{1a}, R_{2a}, R₇, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

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in which compound of formula (XIII) the imidazolyl ring is deprotected by conventional methods of organic synthesis known to the person skilled in the art to yield a compound of formula (XIV):

$$Z = X_1 \times X_2 \times X_2 \times Y_2 \times X_1 \times X_2 \times X_2 \times X_1 \times X_2 \times X_2 \times X_2 \times X_1 \times X_2 \times$$

wherein R_{1a}, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

which compound of formula (XIV) is treated with palladium black to yield a compound of formula (I/j), which is a particular case of the compounds of formula (I):

$$Z \xrightarrow{X_1} \xrightarrow{N} X_2 \\ Y_1 \xrightarrow{N} Y_2 \\ W_1 \xrightarrow{N} N$$

$$R_{1a} \qquad (I/j)$$

wherein R_{1a} , R_{2a} , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore,

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which compound of formula (I/j) is optionally subjected to the same reaction conditions as the compounds of formula (I/h) to yield a compound of formula (I/k), which is a particular case of the compounds of formula (I):

$$Z = X_1 \times X_2 \times X_2 \times X_2 \times X_2 \times X_2 \times X_2 \times X_1 \times X_2 \times$$

wherein R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

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• <u>or</u> treated with an alkylmagnesium halide in the presence of an imidazolyl compound (XV):

$$\bigvee_{N}^{R_7} \tilde{S}\bar{P}h \qquad (XV)$$

wherein R₇ is as defined hereinbefore, to yield a compound of formula (XVI):

$$Z = X_1 \times X_2 \times X_2 \times Y_2 \times X_1 \times X_2 \times X_2 \times X_2 \times X_1 \times X_2 \times$$

wherein R_{2a}, R₇, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

which compound of formula (XVI) is treated with Raney nickel to yield a compound of formula (XVII):

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$$Z = X_1 \times X_2 \times X_2 \times Y_2 \times X_1 \times X_2 \times X_2 \times X_2 \times X_1 \times X_2 \times X_2 \times X_2 \times X_1 \times X_2 \times$$

wherein R_{2a} , R_7 , X_1 , Y_1 , X_2 , Y_2 , W_1 and Z are as defined hereinbefore,

which compound of formula (XVII) is subjected in succession to the same reaction conditions as the compounds of formulae (XII) and (XIII) to yield a compound of formula (XVIII):

$$Z = X_1 \times X_2 \times Y_2 \times Y_2 \times Y_2 \times X_1 \times X_2 \times Y_2 \times$$

wherein R_{1a}, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

which compound of formula (XVIII) is:

* <u>either</u> irradiated with a halogen lamp in the presence of palladium-on-carbon to yield a compound of formula (I/l), which is a particular case of the compounds of formula (I):

$$Z = X_1 \times X_2 \times Y_2 \times Y_2 \times X_1 \times X_2 \times Y_2 \times X_1 \times X_2 \times Y_2 \times X_1 \times X_2 \times X_1 \times X_2 \times X_2 \times X_2 \times X_2 \times X_1 \times X_2 \times$$

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wherein R_{1a}, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

which compound of formula (I/I) is optionally subjected to the same reaction conditions as the compounds of formula (I/h) to yield the compounds of formula (I/m), which are a particular case of the compounds of formula (I):

$$Z = X_1 + X_2 + X_2 + X_2 + X_2 + X_2 + X_2 + X_3 + X_4 + X_4 + X_5 +$$

wherein R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

* or subjected to the same reaction conditions as the compound of formula (XIV) to yield the compounds of formula (I/n), which are a particular case of the compounds of formula (I):

$$Z = X_1 + X_2 + X_2 + X_2 + X_2 + X_2 + X_2 + X_3 + X_4 + X_4 + X_5 +$$

wherein R_{1a}, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

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which compounds of formula (I/n) are optionally subjected to the same reaction conditions as the compounds of formula (I/l) to yield the compounds of formula (I/o), which are a particular case of the compounds of formula (I):

$$Z = \begin{pmatrix} R_{2a} & X_{1} & X_{2} & X_{2}$$

wherein R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

the compounds of formulae (I/a) to (I/o) constituting the compounds of formula (I/p);

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{1}$$

$$X_{1}$$

$$Y_{2}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{1}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{1}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{5}$$

$$Y_{1}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{5}$$

$$Y_{5}$$

$$Y_{6}$$

$$Y_{7}$$

$$Y_{8}$$

$$Y_{1}$$

$$Y_{1}$$

$$Y_{1}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{5}$$

$$Y_{6}$$

$$Y_{7}$$

$$Y_{8}$$

$$Y_{1}$$

$$Y_{1}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{5}$$

$$Y_{6}$$

$$Y_{7}$$

$$Y_{7}$$

$$Y_{8}$$

$$Y_{1}$$

$$Y_{1}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{5}$$

$$Y_{7}$$

$$Y_{7}$$

$$Y_{8}$$

$$Y_{8$$

wherein A, R₁, R_{2a}, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

which compound of formula (I/p) is optionally treated with aqueous sodium hydroxide and then placed in the presence of hydrochloric acid to yield a compound of formula (I/q), which is a particular case of the compounds of formula (I):

$$Z \xrightarrow{Y_1} O \xrightarrow{X_2} Y_2$$

$$Z \xrightarrow{X_1} O \xrightarrow{X_2} Y_2$$

wherein A, R₁, X₁, Y₁, X₂, Y₂, W₁, W₂ and Z are as defined hereinbefore,

which compound of formula (I/q) is optionally treated with a compound of formula (XIX): $\bar{R}_{2b} - \bar{N}\bar{H}_2$ ($\bar{X}\bar{I}\bar{X}$)

wherein R_{2b}, which is other than a hydrogen atom and a methyl group, is as defined for R₂

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in formula (I), to yield a compound of formula (I/r), which is a particular case of the compounds of formula (I):

$$X_{1}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$X_{3}$$

$$X_{4}$$

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$$Y_{1}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{5}$$

$$Y_{7}$$

$$Y_{7}$$

$$Y_{8}$$

$$Y_{8$$

wherein A, R₁, R_{2b}, X₁, Y₁, X₂, Y₂, W₁, W₂ and Z are as defined hereinbefore,

which compounds of formulae (I/a) to (I/r) constitute the totality of the compounds of formula (I), which are purified, where necessary, according to conventional purification techniques, which may be separated, if desired, into their different isomers according to a conventional separation technique, and which are converted, if desired, into their addition salts with a pharmaceutically acceptable acid or base.

<u>21</u>- Process for the preparation of compounds of formula (I) according to claim 1 wherein W_2 has the particular definition:



can be prepared starting from a compound of formula (XX):

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wherein W₁ and Z are as defined for formula (I), which compounds of formula (XX) are reacted with a compound of formula (XXI):

$$X_1$$
 X_2
 Y_1
 Y_2
 Y_2
 (XXI)

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wherein R_2 , X_1 , Y_1 , X_2 and Y_2 are as defined for formula (I), to yield a compound of formula (XXII):

$$Z = \begin{pmatrix} X_1 & X_2 & X_2$$

wherein R₂, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

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which compound of formula (XXII) is treated with palladium-on-carbon to yield a compound of formula (I/s), which is a particular case of the compounds of formula (I):

wherein R₂, X₁, Y₁, X₂, Y₂, W₁ and Z are as defined hereinbefore,

which compound of formula (I/s) is purified, where necessary, according to conventional purification techniques, may be separated, if desired, into its different isomers according to a conventional separation technique and is converted, if desired, into its addition salts with a pharmaceutically acceptable acid or base.

- <u>22-</u> Pharmaceutical compositions comprising as active ingredient at least one compound of formula (I) according to any one of claims 1 to 19, alone or in combination with one or more inert, non-toxic, pharmaceutically acceptable excipients or carriers.
- <u>23</u>- Pharmaceutical compositions according to claim 22 for use as medicaments in the treatment of cancers.